

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
22 March 2001 (22.03.2001)

PCT

(10) International Publication Number  
**WO 01/19407 A3**

- (51) International Patent Classification<sup>7</sup>: **A61K 47/48**
- (21) International Application Number: **PCT/US00/24523**
- (22) International Filing Date:  
7 September 2000 (07.09.2000)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
60/153,579 13 September 1999 (13.09.1999) US  
09/476,974 31 December 1999 (31.12.1999) US
- (71) Applicant (for all designated States except US): **NOBEX CORPORATION** [US/US]; P.O. Box 13940, Research Triangle Park, NC 27709-3940 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **EKWURIBE, Nnochiri, N.** [NG/US]; 216 Coltsgate Drive, Cary, NC 27511 (US). **BARTLEY, Gary, S.** [US/US]; 918 G Woodstone Drive, Florence, SC 29501 (US). **PRICE, Christopher, H.** [US/US]; 200 Commons Way, Chapel Hill, NC 27516 (US).
- (74) Agent: **MYERS BIGEL SIBLEY & SAJOVEC**; P.O. Box 37428, Raleigh, NC 27627 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).
- Published:  
— with international search report
- (88) Date of publication of the international search report:  
17 January 2002
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **TAXANE PRODRUGS**

(57) Abstract: The present invention generally provides taxane prodrugs comprising at least one taxane joined by hydrolyzable bond(s) to one or more polyethylene glycol oligomer(s) selected from the group consisting of a straight or branched polyethylene glycol oligomer having from 1 to 25 polyethylene glycol units and optionally comprising a salt-forming moiety. The polyethylene glycol oligomer preferably comprises a salt-forming moiety, which is preferably selected from the group consisting of ammonium and carboxylate.

WO 01/19407 A3

# INTEL ATIONAL SEARCH REPORT

International Application No  
PCT/US 00/24523

<b>A. CLASSIFICATION OF SUBJECT MATTER</b> IPC 7 A61K47/48		
According to International Patent Classification (IPC) or to both national classification and IPC		
<b>B. FIELDS SEARCHED</b>		
Minimum documentation searched (classification system followed by classification symbols) IPC 7 A61K		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practical, search terms used) CHEM ABS Data, EMBASE, BIOSIS, EPO-Internal		
<b>C. DOCUMENTS CONSIDERED TO BE RELEVANT</b>		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 30727 A (GREENWALD RICHARD B ;ENZON INC (US); CHOE YUN H (US); PENDRI ANNAP) 24 June 1999 (1999-06-24) claims	1-119
E	WO 00 64486 A (VERITAS MEDICAL TECHNOLOGIES I) 2 November 2000 (2000-11-02) claims	1
P,Y	WO 99 48536 A (CONJUCHEM INC ;HOLMES DARREN L (CA); BRIDON DOMINIQUE P (CA); EZRI) 30 September 1999 (1999-09-30)	1-119
X	claims 1,4,12	1-119
X	WO 94 20453 A (ENZON INC) 15 September 1994 (1994-09-15) claims; example 3	1-119
-/--		
<div style="display: flex; justify-content: space-between;"> <span><input checked="" type="checkbox"/> Further documents are listed in the continuation of box C.</span> <span><input checked="" type="checkbox"/> Patent family members are listed in annex.</span> </div>		
* Special categories of cited documents :		
<div style="display: flex; justify-content: space-between;"> <div style="width: 45%;"> <p>*A* document defining the general state of the art which is not considered to be of particular relevance</p> <p>*E* earlier document but published on or after the international filing date</p> <p>*L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</p> <p>*O* document referring to an oral disclosure, use, exhibition or other means</p> <p>*P* document published prior to the international filing date but later than the priority date claimed</p> </div> <div style="width: 45%;"> <p>*T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention</p> <p>*X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone</p> <p>*Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.</p> <p>*8* document member of the same patent family</p> </div> </div>		
Date of the actual completion of the international search  <div style="text-align: center; font-weight: bold;">23 July 2001</div>		Date of mailing of the international search report  <div style="text-align: center; font-weight: bold;">06/08/2001</div>
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016		Authorized officer  <div style="text-align: center; font-weight: bold;">Berte, M</div>

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/24523

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9930727	A	24-06-1999	US 6180095 B AU 1825299 A EP 1037649 A	30-01-2001 05-07-1999 27-09-2000
WO 0064486	A	02-11-2000	AU 4683500 A	10-11-2000
WO 9948536	A	30-09-1999	AU 3452799 A EP 1042003 A	18-10-1999 11-10-2000
WO 9420453	A	15-09-1994	AU 6400094 A	26-09-1994
WO 9324476	A	09-12-1993	AU 4406793 A US 5648506 A	30-12-1993 15-07-1997
WO 0113957	A	01-03-2001	AU 6939400 A	19-03-2001
WO 0119406	A	22-03-2001	AU 7575600 A	17-04-2001
WO 9807713	A	26-02-1998	US 5840900 A AU 730244 B AU 4079497 A EP 0923566 A JP 2000517304 T US 6127355 A US 5965566 A	24-11-1998 01-03-2001 06-03-1998 23-06-1999 26-12-2000 03-10-2000 12-10-1999
EP 0895784	A	10-02-1999	CA 2251742 A WO 9738727 A	23-10-1997 23-10-1997
JP 7082291	A	28-03-1995	NONE	
WO 9623794	A	08-08-1996	US 5614549 A US 5880131 A AU 705147 B AU 4913396 A CA 2208841 A EP 0807115 A JP 10513187 T US 6127355 A US 5840900 A US 5965566 A	25-03-1997 09-03-1999 13-05-1999 21-08-1996 08-08-1996 19-11-1997 15-12-1998 03-10-2000 24-11-1998 12-10-1999